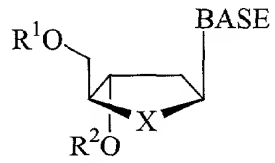
We Claim:

1. A 3'-substituted-β-L nucleoside of the formula (I):



(I)

or its pharmaceutically acceptable salt thereof, wherein

R^1 is hydrogen, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative;

R^2 is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative;

X is O, S, SO_2 or CH_2; and

BASE is a purine or pyrimidine base that may optionally be substituted.

2. The compound of claim 1, wherein X is O.

3. The compound of claim 2, wherein R^2 is CO-alkyl.

4. The compound of claim 2, wherein R^2 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

5. The compound of claim 4, wherein R^2 is L-valinyl.

6. The compound of claim 2, wherein R^1 is hydrogen.

7. The compound of claim 2, wherein R^1 is CO-alkyl.

8. The compound of claim 2, wherein R^1 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

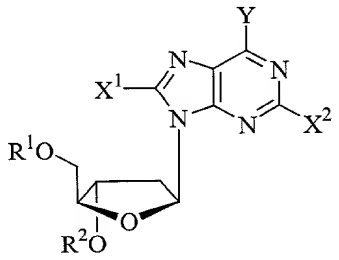
R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

9. The compound of claim 8, wherein R^1 is L-valinyl.

10. The compound of claim 9, wherein R^1 and R^2 are independently L-valinyl.

11. The compound of claim 1, wherein the 3'-substituted-β-L nucleoside is a β-L-2'-deoxypurine of the formula:



or its pharmaceutically acceptable salt thereof, wherein

R^1 is hydrogen, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative;

R^2 is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl,

alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative;

Y is OR^3, NR^3R^4 or SR^3; and

X^1 and X^2 are independently selected from the group consisting of H, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, halogen, OR^5, NR^5R^6 or SR^5; and

R^3, R^4, R^5 and R^6 are independently H, straight chained, branched or cyclic alkyl, dialkylaminoalkylene (in particular, dimethylaminomethylene), CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative.

12. The compound of claim 11, wherein R^2 is CO-alkyl.

13. The compound of claim 11, wherein R^2 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

14. The compound of claim 13, wherein R^2 is L-valinyl.

15. The compound of claim 11, wherein R^1 is hydrogen.

16. The compound of claim 11, wherein R^1 is CO-alkyl.

17. The compound of claim 11, wherein R^1 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

18. The compound of claim 17, wherein R^1 is L-valinyl.

19. The compound of claim 11, wherein R^1 is hydrogen and R^2 is L-valinyl.

20. The compound of claim 11, wherein R^1 and R^2 are independently an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

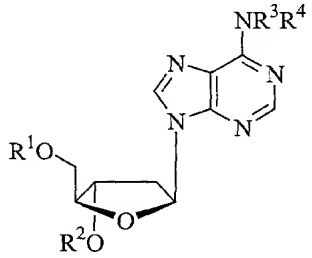
R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

21. The compound of claim 20, wherein R^1 and R^2 are independently L-valinyl.

22. The compound of claim 11, wherein the β-L-2'-deoxypurine is a β-L-2'-deoxyadenosine of the formula:



or its pharmaceutically acceptable salt thereof, wherein

R^1 is hydrogen, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative;

R^2 is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl,

alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative; and

R^3 and R^4 are independently H, straight chained, branched or cyclic alkyl, dialkylaminoalkylene (in particular, dimethylaminomethylene), CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative.

23. The compound of claim 22, wherein R^2 is CO-alkyl.

24. The compound of claim 23, wherein the CO-alkyl is CO-methyl.

25. The compound of claim 23, wherein the CO-alkyl is CO-propyl.

26. The compound of claim 22, wherein R^2 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

27. The compound of claim 26, wherein R^2 is L-valinyl.

28. The compound of claim 22, wherein R^1 is hydrogen.

29. The compound of claim 22, wherein R^1 is not hydrogen.

30. The compound of claim 22, wherein R^1 is CO-alkyl.

31. The compound of claim 30, wherein the CO-alkyl is CO-methyl.

32. The compound of claim 30, wherein the CO-alkyl is CO-propyl.

33. The compound of claim 22, wherein R^1 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

34. The compound of claim 33, wherein R^1 is L-valinyl.

35. The compound of claim 22, wherein R^1 is hydrogen and R^2 is CO-alkyl.

36. The compound of claim 22, wherein R^1 is hydrogen and R^2 is L-valinyl.

37. The compound of claim 22, wherein R^1 and R^2 are independently acyl.

38. The compound of claim 22, wherein R^1 and R^2 are independently an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

39. The compound of claim 38, wherein R^1 and R^2 are independently L-valinyl.

40. The compound of claim 38, wherein R^3 and R^4 are hydrogen.

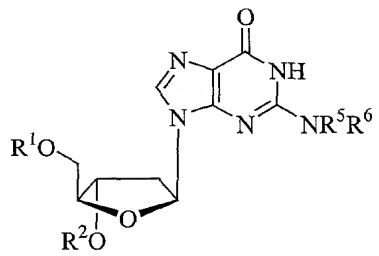
41. The compound of claim 38, wherein R^3 is hydrogen and R^4 is dimethylamino-methylene.

42. The compound of claim 38, wherein R^3 is hydrogen and R^4 is CO-alkyl.

43. The compound of claim 38, wherein R^3 is hydrogen and R^4 is CO-methyl.

44. The compound of claim 38, wherein R^3 is hydrogen and R^4 is L-valinyl.

45. The compound of claim 11, wherein the β-L-2'-deoxypurine is β-L-2'-deoxy-guanosine of the formula:



or its pharmaceutically acceptable salt thereof, wherein

R^1 is hydrogen, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative;

R^2 is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative; and

R^5 and R^6 are independently H, straight chained, branched or cyclic alkyl, dialkylaminoalkylene (in particular, dimethylaminomethylene), CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative.

46. The compound of claim 45, wherein R^2 is CO-alkyl.

47. The compound of claim 46, wherein the CO-alkyl is CO-methyl.

48. The compound of claim 46, wherein the CO-alkyl is CO-propyl.

49. The compound of claim 45, wherein R^2 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

50. The compound of claim 49, wherein R^2 is L-valinyl.

51. The compound of claim 45, wherein R^1 is hydrogen.

52. The compound of claim 45, wherein R^1 is not hydrogen.

53. The compound of claim 45, wherein R^1 is CO-alkyl.

54. The compound of claim 53, wherein the CO-alkyl is CO-methyl.

55. The compound of claim 53, wherein the CO-alkyl is CO-propyl.

56. The compound of claim 45, wherein R^1 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

57. The compound of claim 56, wherein R^1 is L-valinyl.

58. The compound of claim 45, wherein R^1 is hydrogen and R^2 is CO-alkyl.

59. The compound of claim 45, wherein R^1 is hydrogen and R^2 is L-valinyl.

60. The compound of claim 45, wherein R^1 and R^2 are independently acyl.

61. The compound of claim 45, wherein R^1 and R^2 are independently an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

62. The compound of claim 61, wherein R^1 and R^2 are independently L-valinyl.

63. The compound of claim 62, wherein R^5 and R^6 are hydrogen.

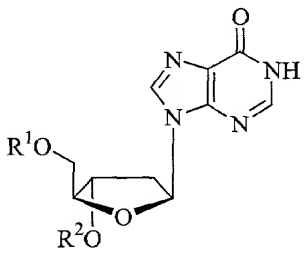
64. The compound of claim 62, wherein R^5 is hydrogen and R^6 is dimethylaminomethylene.

65. The compound of claim 62, wherein R^5 is hydrogen and R^6 is CO-alkyl.

66. The compound of claim 62, wherein R^5 is hydrogen and R^6 is CO-methyl.

67. The compound of claim 62, wherein R^5 is hydrogen and R^6 is L-valinyl.

68. The of claim 11, wherein the β-L-2'-deoxypurine is β-L-2'-deoxyinosine of the formula:



or its pharmaceutically acceptable salt thereof, wherein

R^1 is hydrogen, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative; and

R^2 is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative.

69. The compound of claim 68, wherein R^2 is CO-alkyl.

70. The compound of claim 69, wherein the CO-alkyl is CO-methyl.

71. The compound of claim 69, wherein the CO-alkyl is CO-propyl.

72. The compound of claim 68, wherein R^2 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

73. The compound of claim 72, wherein R^2 is L-valinyl.

74. The compound of claim 68, wherein R^1 is hydrogen.

75. The compound of claim 8, wherein R^1 is not hydrogen.

76. The compound of claim 68, wherein R^1 is CO-alkyl.

77. The compound of claim 76, wherein the CO-alkyl is CO-methyl.

78. The compound of claim 76 wherein the CO-alkyl is CO-propyl.

79. The compound of claim 68, wherein R^1 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

80. The compound of claim 79, wherein R^1 is L-valinyl.

81. The compound of claim 68, wherein R^1 is hydrogen and R^2 is CO-alkyl.

82. The compound of claim 68 wherein R^1 is hydrogen and R^2 is L-valinyl.

83. The compound of claim 68, wherein R^1 and R^2 are independently acyl.

84. The compound of claim 68, wherein R^1 and R^2 are independently an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

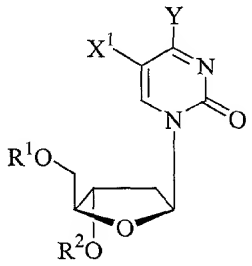
R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

85. The compound of claim 84, wherein R^1 and R^2 are independently L-valinyl.

86. The compound of claim 2, wherein the 3'-substituted-β-L nucleoside is a β-L-2'-deoxypyrimidine of the formula:



or its pharmaceutically acceptable salt therein, wherein

R^1 is selected from the group consisting of H, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative;

R^2 is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative;

Y is OR^3, NR^3R^4 or SR^3;

X^1 is selected from the group consisting of H, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, halogen, OR^5, NR^5R^6 or SR^5; and

R^3, R^4, R^5 and R^6 are independently H, straight chained, branched or cyclic alkyl, dialkylaminoalkylene (in particular, dimethylaminomethylene), CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative.

87. The compound of claim 86, wherein R^2 is CO-alkyl.

88. The compound of claim 86, wherein R^2 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

89. The compound of claim 88, wherein R^2 is L-valinyl.

90. The compound of claim 86, wherein R^1 is hydrogen.

91. The compound of claim 86, wherein R^1 is CO-alkyl.

92. The compound of claim 86, wherein R^1 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

93. The compound of claim 92, wherein R^1 is L-valinyl.

94. The compound of claim 86, wherein R^1 is hydrogen and R^2 is L-valinyl.

95. The compound of claim 86, wherein R^1 and R^2 are independently an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

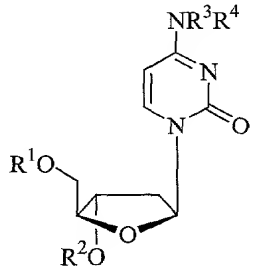
R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

96. The compound of claim 95, wherein R^1 and R^2 are independently L-valinyl.

97. The compound of claim 86, wherein the β-L-2'-deoxypyrimidine is a β-L-2'-deoxycytidine of the formula:



or its pharmaceutically acceptable salt thereof, wherein

R^1 is hydrogen, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative;

R^2 is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative; and

R^3 and R^4 are independently H, straight chained, branched or cyclic alkyl, dialkylaminoalkylene (in particular, dimethylaminomethylene), CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl,

aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative.

98. The compound of claim 97, wherein R^2 is CO-alkyl.

99. The compound of claim 98, wherein the CO-alkyl is CO-methyl.

100. The compound of claim 98, wherein the CO-alkyl is CO-propyl.

101. The compound of claim 97, wherein R^2 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

102. The compound of claim 101, wherein R^2 is L-valinyl.

103. The compound of claim 97, wherein R^1 is hydrogen.

104. The compound of claim 97, wherein R^1 is not hydrogen.

105. The compound of claim 97, wherein R^1 is CO-alkyl.

106. The compound of claim 105, wherein the CO-alkyl is CO-methyl.

107. The compound of claim 105, wherein the CO-alkyl is CO-propyl.

108. The compound of claim 97, wherein R^1 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

109. The compound of claim 108, wherein R^1 is L-valinyl.

110. The compound of claim 97, wherein R^1 is hydrogen and R^2 is CO-alkyl.

111. The compound of claim 97, wherein R^1 is hydrogen and R^2 is L-valinyl.

112. The compound of claim 97, wherein R^1 and R^2 are independently acyl.

113. The compound of claim 97, wherein R^1 and R^2 are independently an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

114. The compound of claim 113, wherein R^1 and R^2 are independently L-valinyl.

115. The compound of claim 114, wherein R^3 and R^4 are hydrogen.

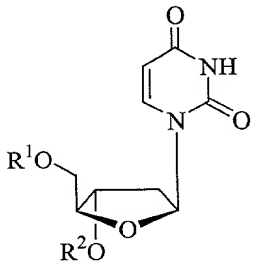
116. The compound of claim 114, wherein R^3 is hydrogen and R^4 is dimethylamino-methylene.

117. The compound of claim 114, wherein R^3 is hydrogen and R^4 is CO-alkyl.

118. The compound of claim 117, wherein R^3 is hydrogen and R^4 is CO-methyl.

119. The compound of claim 114, wherein R^3 is hydrogen and R^4 is L-valinyl.

120. The compound of claim 86, wherein the β-L-2'-deoxypyrimidine is a β-L-2'-deoxyuridine of the formula:



or its pharmaceutically acceptable salt thereof, wherein

R^1 is hydrogen, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative; and

R^2 is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative.

121. The compound of claim 120, wherein R^2 is CO-alkyl.

122. The compound of claim 121, wherein the CO-alkyl is CO-methyl.

123. The compound of claim 121, wherein the CO-alkyl is CO-propyl.

124. The compound of claim 120, wherein R^2 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

125. The compound of claim 124, wherein R^2 is L-valinyl.

126. The compound of claim 120, wherein R^1 is hydrogen.

127. The compound of claim 120, wherein R^1 is not hydrogen.

128. The compound of claim 120, wherein R^1 is CO-alkyl.

129. The compound of claim 128, wherein the CO-alkyl is CO-methyl.

130. The compound of claim 128, wherein the CO-alkyl is CO-propyl.

131. The compound of claim 120, wherein R^1 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

132. The compound of claim 131, wherein R^1 is L-valinyl.

133. The compound of claim 120, wherein R^1 is hydrogen and R^2 is CO-alkyl.

134. The compound of claim 120, wherein R^1 is hydrogen and R^2 is L-valinyl.

135. The compound of claim 120, wherein R^1 and R^2 are independently acyl.

136. The compound of claim 120, wherein R^1 and R^2 are independently an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

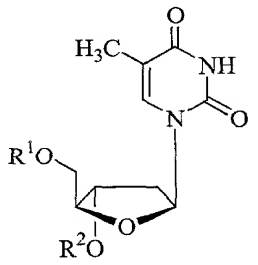
R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

137. The compound of claim 136, wherein R^1 and R^2 are independently L-valinyl.

138. The compound of claim 86, wherein the β-L-2'-deoxypyrimidine is a β-L-thymidine of the formula:



or its pharmaceutically acceptable salt thereof, wherein

R^1 is hydrogen, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative; and

R^2 is selected from the group consisting of straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative.

139. The compound of claim 138, wherein R^2 is CO-alkyl.

140. The compound of claim 139, wherein the CO-alkyl is CO-methyl.

141. The compound of claim 139, wherein the CO-alkyl is CO-propyl.

142. The compound of claim 138, wherein R^2 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

143. The compound of claim 142, wherein R^2 is L-valinyl.

144. The compound of claim 138, wherein R^1 is hydrogen.

145. The compound of claim 138, wherein R^1 is not hydrogen.

146. The compound of claim 138, wherein R^1 is CO-alkyl.

147. The compound of claim 146, wherein the CO-alkyl is CO-methyl.

148. The compound of claim 146, wherein the CO-alkyl is CO-propyl.

149. The compound of claim 138, wherein R^1 is an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

150. The compound of claim 149, wherein R^1 is L-valinyl.

151. The compound of claim 138, wherein R^1 is hydrogen and R^2 is CO-alkyl.

152. The compound of claim 138, wherein R^1 is hydrogen and R^2 is L-valinyl.

153. The compound of claim 138, wherein R^1 and R^2 are independently acyl.

154. The compound of claim 138, wherein R^1 and R^2 are independently an amino acid residue of the formula $C(O)C(R^8)(R^9)(NR^{10}R^{11})$, wherein

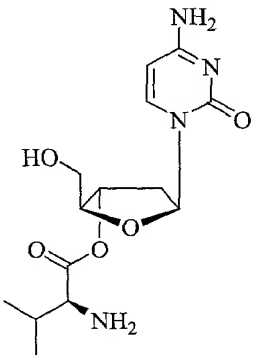
R^8 is the side chain of an amino acid and wherein, as in proline, R^8 can optionally be attached to R^{10} to form a ring structure; or alternatively, R^8 is an alkyl, aryl, heteroaryl or heterocyclic moiety;

R^9 is hydrogen, alkyl (including lower alkyl) or aryl; and

R^{10} and R^{11} are independently hydrogen, acyl (including an acyl derivative attached to R^8) or alkyl (including but not limited to methyl, ethyl, propyl, and cyclopropyl).

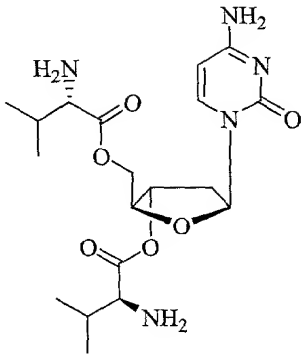
155. The compound of claim 154, wherein R^1 and R^2 are independently L-valinyl.

156. A compound of the formula



or its pharmaceutically acceptable salt thereof.

157. A compound of the formula



or its pharmaceutically acceptable salt thereof.

158. A pharmaceutical composition for the treatment or prophylaxis of a hepatitis B virus infection in a host comprising the compound of claim 1, or its pharmaceutically acceptable salt, together with a pharmaceutically acceptable carrier or diluent.

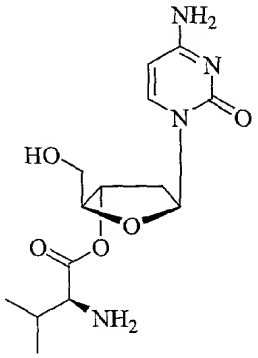
159. A pharmaceutical composition for the treatment or prophylaxis of a hepatitis B virus infection in a host comprising the compound of claim 1, or its pharmaceutically acceptable salt, in combination with one or more anti-hepatitis B virus agent.

160. The composition of claim 159 wherein the anti-hepatitis B virus agent is a β-L-deoxyribonucleoside.

161. The composition of claim 160 wherein the β-L-deoxyribonucleoside is selected from the group consisting of β-L-deoxyribothymidine (β-L-dT), β-L-deoxyribocytosine (β-L-dC), β-L-deoxyribouridine (β-L-dU), β-L-deoxyriboadenine (β-L-dA), β-L-deoxyriboguanine (β-L-dG) or β-L-deoxyribo-inosine (β-L-dI).

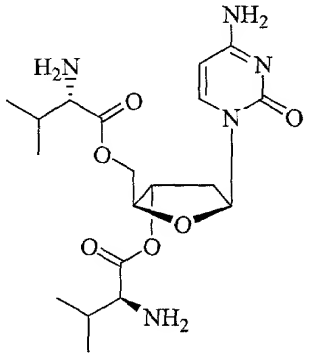
162. The composition of claim 161 wherein the β-L-deoxyribonucleoside is β-L-deoxyribothymidine (β-L-dT).

163. A pharmaceutical composition comprising a compound of the formula



or its pharmaceutically acceptable salt thereof, in combination with β-L-deoxyribothymidine.

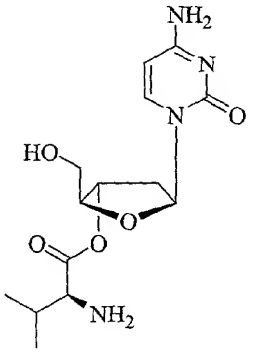
164. A pharmaceutical composition comprising a compound of the formula



or its pharmaceutically acceptable salt thereof, in combination with β-L-deoxyribothymidine.

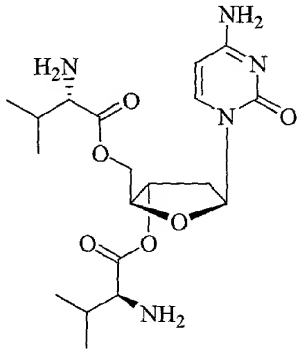
165. A method for the treatment or prophylaxis of a hepatitis B virus infection in a host, comprising administering a therapeutic amount of the compound of claim 1, or its pharmaceutically acceptable salt thereof.

166. The method of claim 165, wherein the compound is of the formula



or its pharmaceutically acceptable salt thereof.

167. The method of claim 165, wherein the compound is of the formula



or its pharmaceutically acceptable salt thereof.

168. A method for the treatment or prophylaxis of a hepatitis B virus infection in a host, comprising administering a therapeutic amount of a pharmaceutical composition comprising the compound of claim 1, or its pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

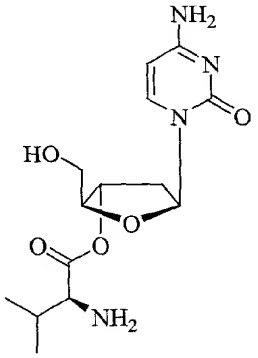
169. A method for the treatment or prophylaxis of a hepatitis B virus infection in a host, comprising administering a therapeutic amount of a compound of claim 1, or its pharmaceutically acceptable salt thereof, in combination or alternation with a therapeutic amount of one or more other anti-hepatitis B agent.

170. The method of claim 169 wherein the anti-hepatitis B virus agent is a β-L-deoxyribonucleoside.

171. The method of claim 170 wherein the β-L-deoxyribonucleoside is selected from the group consisting of β-L-deoxyribothymidine (β-L-dT), β-L-deoxyribocytosine (β-L-dC), β-L-deoxyribouridine (β-L-dU), β-L-deoxyriboadenine (β-L-dA), β-L-deoxyriboguanine (β-L-dG) or β-L-deoxyribo-inosine (β-L-dI).

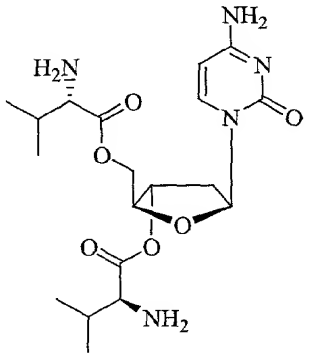
172. The method of claim 171 wherein the β-L-deoxyribonucleoside is β-L-deoxyribothymidine (β-L-dT).

173. A method for the treatment or prophylaxis of a hepatitis B virus infection in a host, comprising administering a therapeutic amount of a pharmaceutical composition comprising a compound of the formula



or its pharmaceutically acceptable salt thereof, in combination or alternation with a therapeutic amount of β-L-deoxyribothymidine.

174. A method for the treatment or prophylaxis of a hepatitis B virus infection in a host, comprising administering a therapeutic amount of a pharmaceutical composition comprising a compound of the formula



or its pharmaceutically acceptable salt thereof, in combination or alternation with a therapeutic amount of β-L-deoxyribothymidine.